**WHAT IS CLAIMED IS:**

1. A multibinding compound which comprises from 2-10 ligands covalently connected by a linker or linkers wherein each of said ligands comprises a ligand domain capable of binding to penicillin binding proteins, a transpeptidase enzyme, a substrate of a transpeptidase enzyme, a beta-lactamase enzyme, a pencillinase enzyme, a cephalosporinase enzyme, a transglycoslase enzyme, or a transglycosylase enzyme substrate provided that:

(i) when the number of ligands in a multibinding compound of Formula (I) is greater than two then all the ligands cannot be either a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

(ii) when p is 2 and q is 1 then at least one of the ligands is a beta lactam antibiotic; and

(iii) when p is 2, q is 1, and one of the ligands is vancomycin attached to a linker via the [C] terminus, then the other ligand cannot be cefalexin attached to the linker via acylation of its alpha amino group.

2. A multibinding compound of Formula (I):

$$(L)_p(X)_q \qquad (I)$$

wherein:

*p* is an integer of from 2 to 10;

*q* is an integer of from 1 to 20;

each ligand, L, is a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

X is a linker that may be same or different at each occurrence provided that:

(i) when the number of ligands in a multibinding compound of Formula (I) is greater than two then all the ligands cannot be either a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

(ii) when p is 2 and q is 1 then at least one of the ligands is a beta lactam antibiotic; and

(iii) when p is 2, q is 1, and one of the ligands is vancomycin attached to a linker via the [C] terminus, then the other ligand cannot be cefalexin attached to the linker via acylation of its alpha amino group.

3. The multibinding compound of Claim 2 wherein *q* is 1 and *p* is 2.

4. The multibinding compound of Claim 3 wherein:

each ligand that is a beta lactam antibiotic is selected from the group consisting of penems, penams, cephems, carbapenems, oxacephems, carbacephems, and monobactam ring systems; and

each ligand that is a glycopeptide antibiotic is selected from the group consisting of chloroeremomycin, chloroorienticin, optionally substituted vancomycin and aglycone derivatives thereof.

5. A multibinding compound of Formula (II):

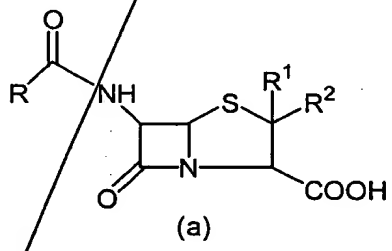
$L^a$-X-$L^b$

(II)

wherein:

ligand, $L^a$, is a beta lactam antibiotic is selected from the group consisting of:

(i) a compound of formula (a):




(a)

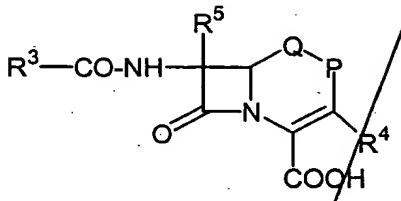
wherein:

R is substituted alkyl, aryl, aralkyl, or heteroaryl wherein each of said substituent optionally links (a) to a linker via a covalent bond or R is a covalent bond that links (a) to a linker; and

$R^1$ and $R^2$ are, independently of each other, alkyl or at least one of $R^1$ and $R^2$ is a

covalent bond linking (a) to a linker;

(ii) a compound of formula (b):



(b)

wherein:

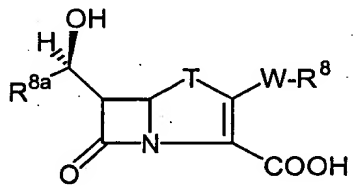
one of P and Q is O, S, or $-CH_2-$ and the other is $-CH_2-$;

$R^3$ is substituted alkyl, heteroarylalkyl, aralkyl, heterocyclylalkyl, or $-C(R^6)=NOR^7$ (where $R^6$ is aryl, heteroaryl, or substituted alkyl; and $R^7$ is alkyl or substituted alkyl) wherein each of said substituent optionally links (b) to a linker or $R^3$ is a covalent bond that links (b) to a linker; and

$R^4$ is hydrogen, alkyl, alkenyl, substituted alkenylene, substituted alkyl, halo, heteroarylalkyl, heterocyclylalkyl, $-SR^a$ (where $R^a$ is aryl, heteroaryl, heterocyclyl, or cycloalkyl) or $-CH_2SR^a$ (where $R^a$ is aryl, heteroaryl, heterocyclyl, or cycloalkyl) wherein each of said substituent optionally links (b) to a linker or $R^4$ is a covalent bond that links (b) to a linker;

$R^5$ is hydrogen, hydroxy, or alkoxy;

(iii) a compound of formula (c):



(c)

wherein:

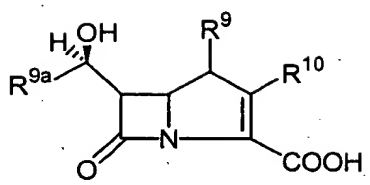
T is S or $CH_2$;

$R^{8a}$ is alkyl;

W is O, S, $-OCH_2-$, or $CH_2$, and $R^8$ is -(alkylene)-$NHC(R^b)=NH$ where $R^b$ is a covalent bond linking (c) to a linker or a covalent bond linking (c) to a linker; or $-W-R^8$ is a covalent

bond that links (c) to a linker;

(iv) a compound of formula (d):



(d)

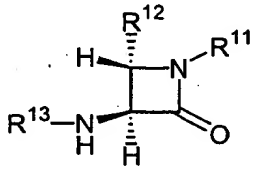
wherein:

$R^9$ and $R^{9a}$ are alkyl;

$R^{10}$ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, halo, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl or $-CH_2SR^a$ (where $R^a$ is aryl, heteroaryl, heterocyclyl, or cycloalkyl) wherein each of said substituent optionally links (d) to a linker or at least one of $R^9$ and $R^{10}$ is a covalent bond that links (d) to a linker; or

$R^9$ and $R^{10}$ together with the carbon atoms to which they are attached form an aryl, heteroaryl, cycloalkyl, substituted cycloalkyl, or heterocyclyl ring of 4 to 7 ring atoms wherein one of the ring atoms optionally links (d) to a linker; or

(v) a compound of formula (e):



(e)

wherein:

$R^{11}$ is $-SO_3H$ or -(alkylene)-COOH;

$R^{12}$ is alkyl, substituted alkyl, haloalkyl, alkoxy, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, substituted cycloalkyl, or heterocyclyl wherein each of said substituent optionally binds (e) to a linker or $R^{12}$ is a covalent bond that links (e) to a linker; and

$R^{13}$ is alkyl, acyl, or $-COC(R^{14})=N-OR^{15}$ wherein $R^{14}$ is aryl, heteroaryl which optionally links (e) to a linker, and $R^{15}$ is -(alkylene)-$COOR^{16}$ wherein $R^{16}$ is hydrogen or optionally links

(e) to a linker or $R^{13}$ is a covalent bond that links (e) to a linker;

ligand, $L^b$, is an optionally substituted vancomycin which is linked to a linker via any hydroxyl group, carboxyl group or amino group;

X is a linker is selected from a compound of formula:

$$-X^a\text{-}Z\text{-}(Y^a\text{-}Z)_m\text{-}X^a\text{-}$$

wherein

*m* is an integer of from 0 to 20;

$X^a$ at each separate occurrence is selected from the group consisting of -O-, -S-, -NR-, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR-, -NRC(O)-, C(S), -C(S)O-, -C(S)NR-, -NRC(S)-, or a covalent bond where R is as defined below;

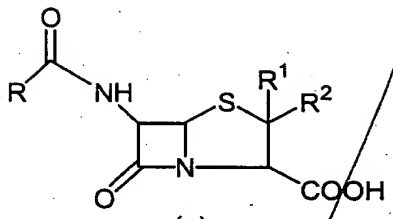
Z at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cylcoalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, or a covalent bond;

each $Y^a$ at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-, -NR-, -S(O)n-, -C(O)NR'-, -NR'C(O)-, -NR'C(O)NR'-, -NR'C(S)NR'-, -C(=NR')-NR'-, -NR'-C(=NR')-, -OC(O)-NR'-, -NR'-C(O)-O-, -N=C($X^a$)-NR'-, -NR'-C($X^a$)=N-,-P(O)(OR')-O-, -O-P(O)(OR')-, -S(O)$_n$CR'R''-, -S(O)$_n$-NR'-, -NR'-S(O)$_n$-, -S-S-, and a covalent bond; where *n* is 0, 1 or 2; and R, R' and R'' at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic; and

pharmaceutically acceptable salts thereof provided that when $L^b$ is vancomycin attached to a linker via the [C] terminus, then $L^a$ cannot be cefalexin attached to the linker via acylation of its alpha amino group.

6. The multibinding compound of Claim 5 wherein $L^a$ is selected from the group consisting of:

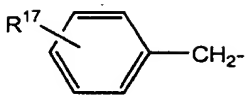
(i) a compound of formula (a):

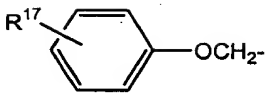


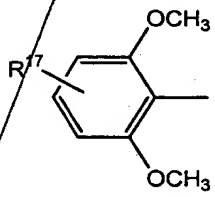
(a)

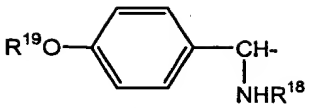
wherein:

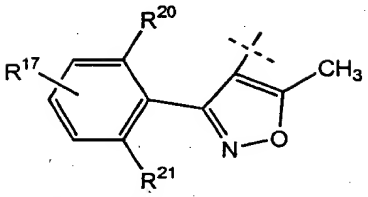
R is:







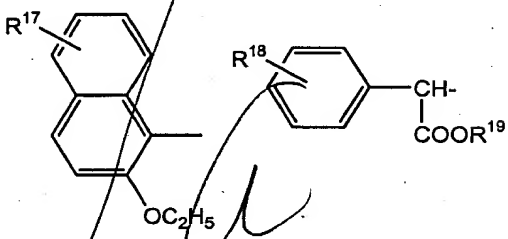


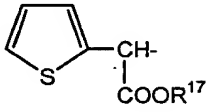


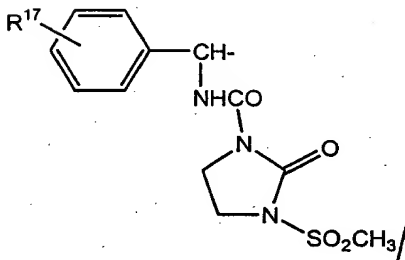
$R^{20}$= $R^{21}$= H

$R^{20}$ = $R^{21}$= Cl

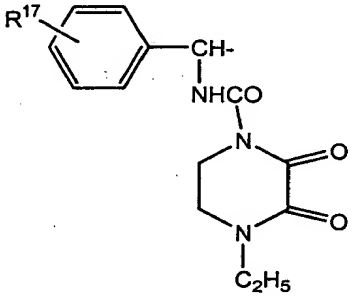
$R^{20}$ = Cl and $R^{21}$= H







or



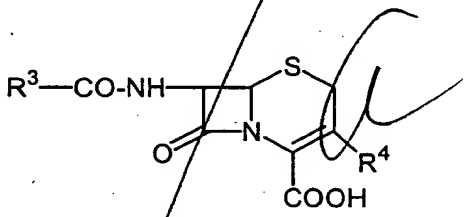
where:

$R^{17}$ is a covalent bond that links the (a) group to a linker;

one of $R^{18}$ and $R^{19}$ and is hydrogen and the other is a covalent bond that links the (a) group to a linker; and

$R^1$ and $R^2$ are methyl;

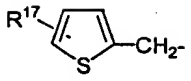
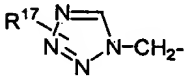
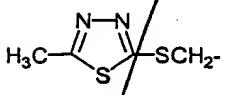
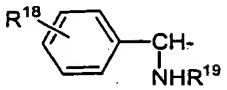
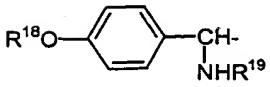
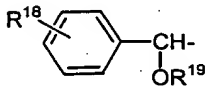
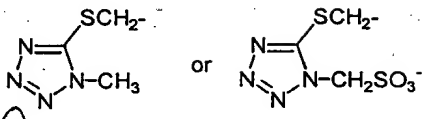
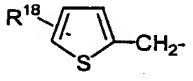
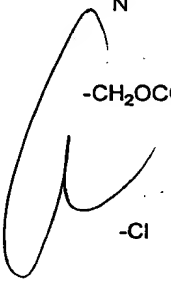
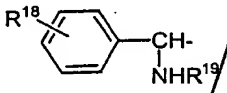
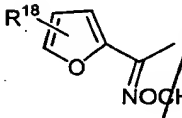
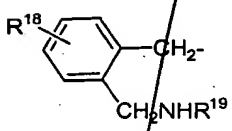
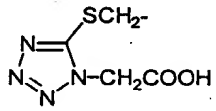
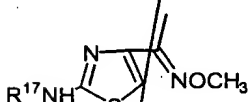
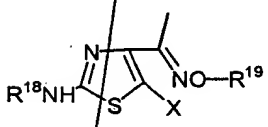
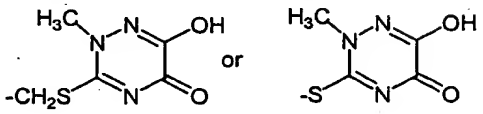
(ii) a compound of formula (b):

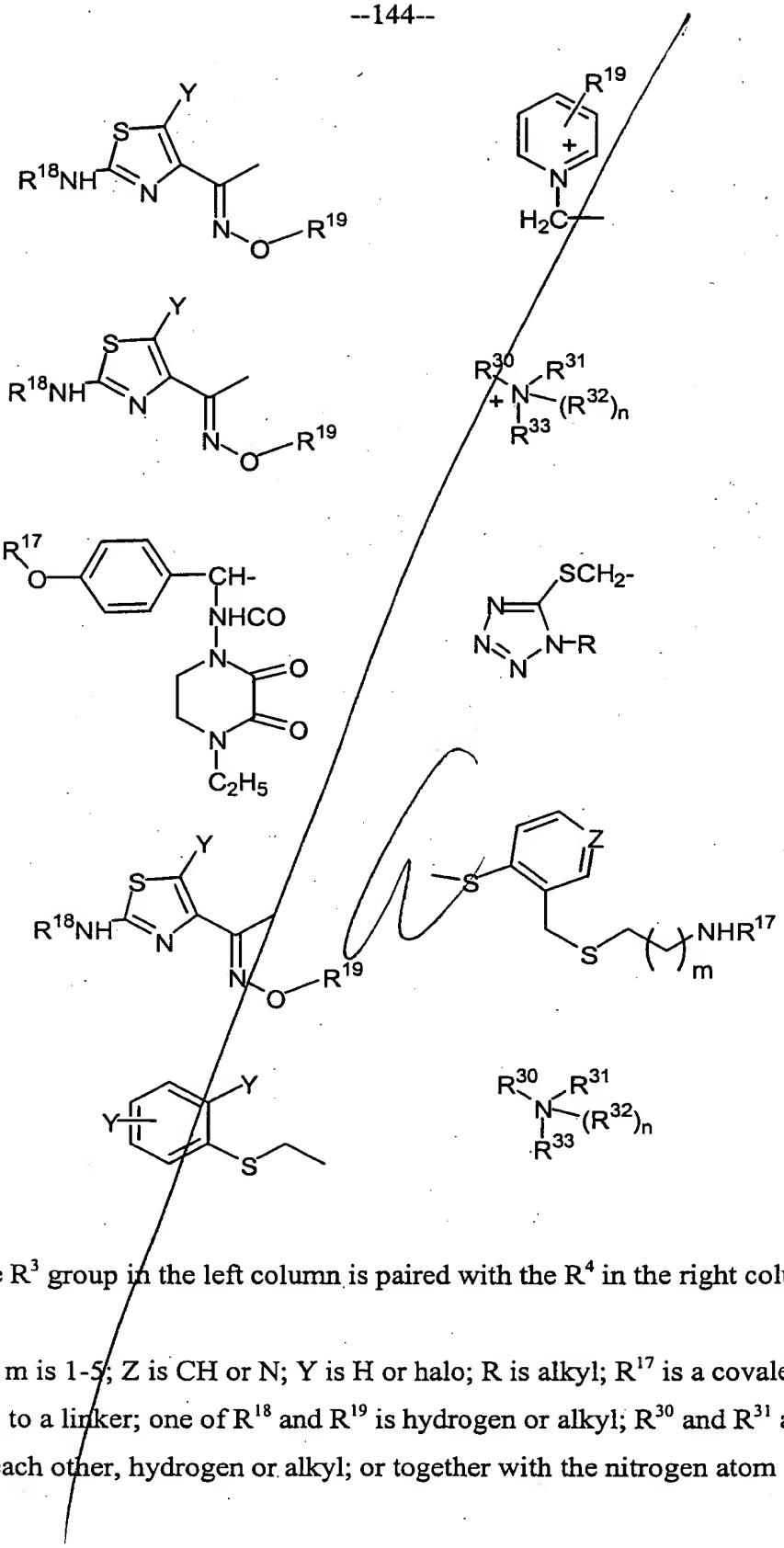



(b)

where:

$R^3$ and $R^4$ are:

| $R^3$ | $R^4$ |
|---|---|
|  | $-CH_2OCOCH_3$ |
|  |  |
|  | $-CH_3$ |
|  | $-CH_3$ |
|  |  |
|  | $-CH_2OCONHR^{19}$  |
|  | $-Cl$ |
|  | $-CH_2OCONHR^{19}$ |
|  |  |
|  | $-CH_2OCOCH_3$, $-CH_2OCH_3$, H |
|  |  |
| $X$ = halo | |



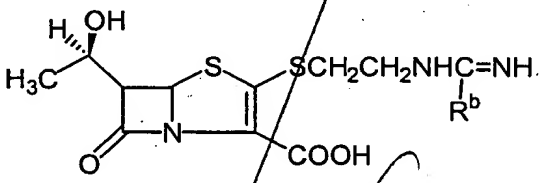
(Note: the $R^3$ group in the left column is paired with the $R^4$ in the right column)

wherein:

n is 0 or 1; m is 1-5; Z is CH or N; Y is H or halo; R is alkyl; $R^{17}$ is a covalent bond that links the (b) group to a linker; one of $R^{18}$ and $R^{19}$ is hydrogen or alkyl; $R^{30}$ and $R^{31}$ are, independently of each other, hydrogen or alkyl; or together with the nitrogen atom to which

they are attached form a heterocycloamino group; and R, $R^{32}$ and $R^{33}$ are independently alkyl wherein one of $R^{18}$, $R^{19}$, $R^{30}$-$R^{33}$ is a covalent bond that links the (b) group to a linker;

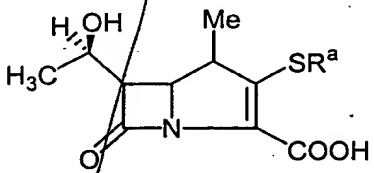
(iii) a compound of formula (c):




(c)

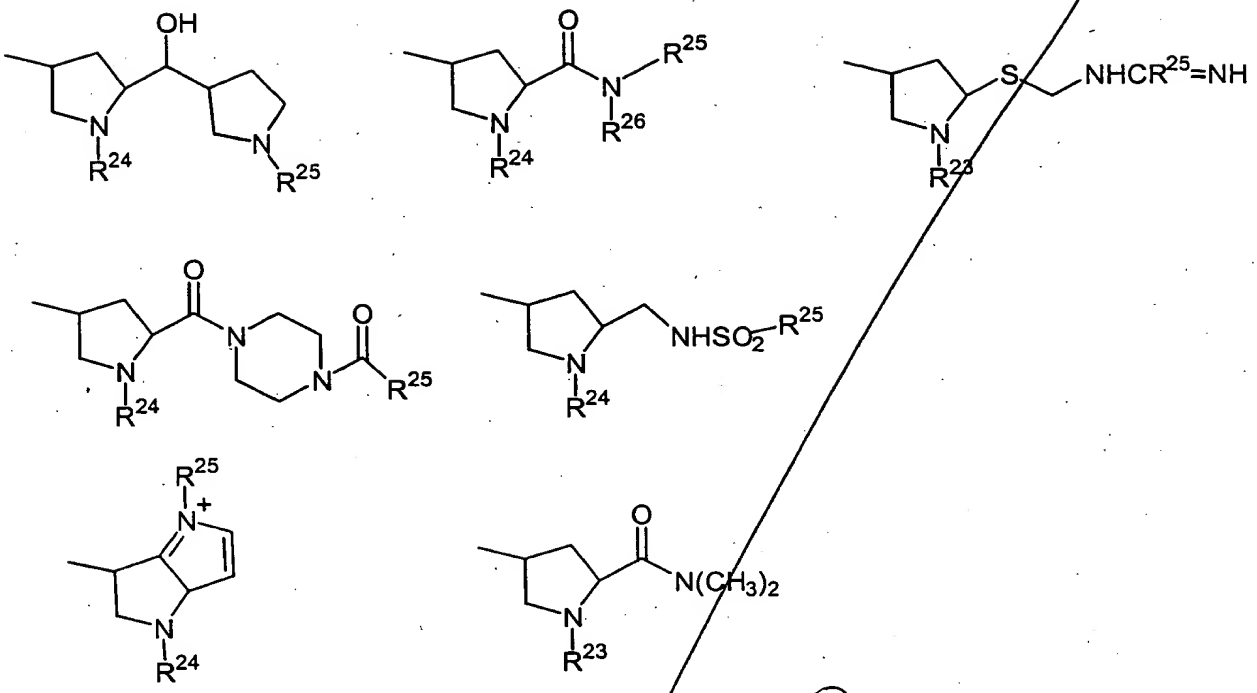
wherein $R^b$ is a covalent bond linking (c) to a linker;

(iv) a compound of formula (d):




(d)

where $R^a$ is:

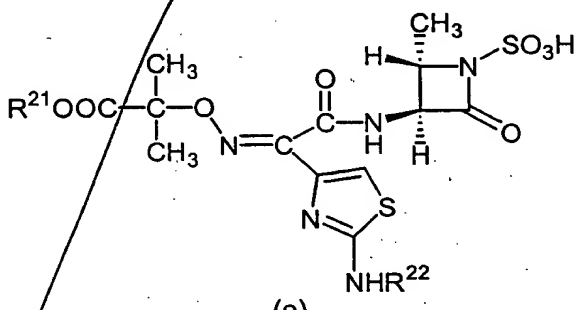


where:

$R^{23}$ is a covalent bond that links (d) to a linker;

one of $R^{24}$ and $R^{25}$ is hydrogen, alkyl, substituted alkyl, or aralkyl, and other is a covalent bond that links (d) to a linker; $R^{26}$ is alkyl; or

(v) a compound of formula (e):



(e)

wherein one of $R^{21}$ and $R^{22}$ is hydrogen and the other links (d) to a linker.

7. A multibinding compound of Formula (III):

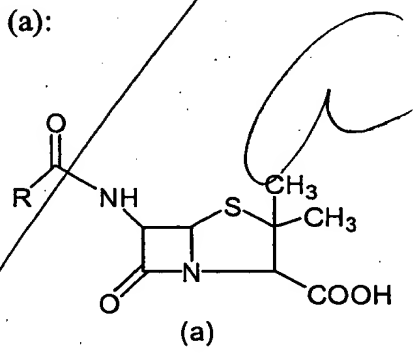
$L^c$-X-$L^d$

(III)

wherein:

ligands, $L^c$ and $L^d$, are a beta lactam antibiotic and are independently selected from the group consisting of:

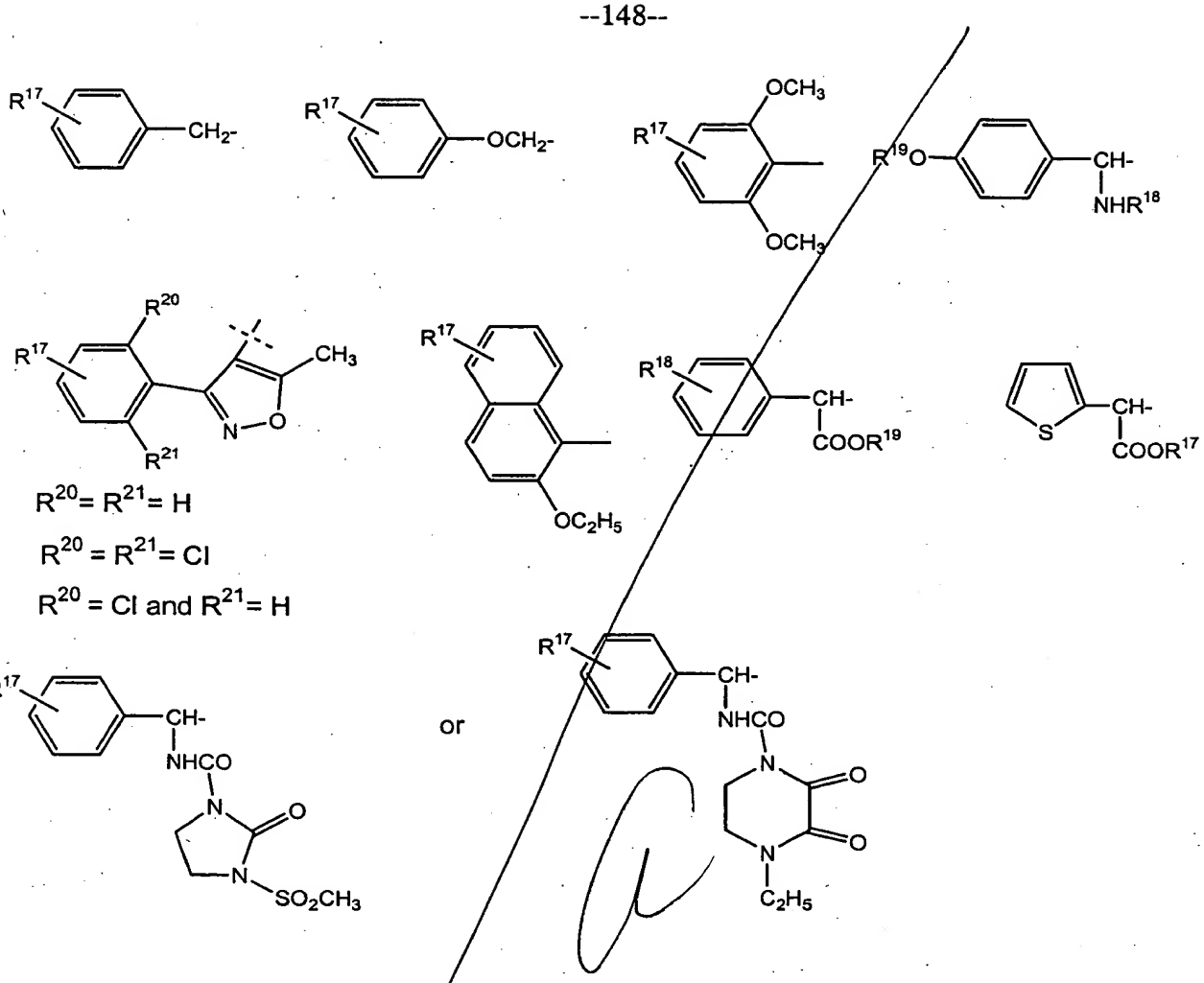
(i) a compound of formula (a):




(a)

wherein:

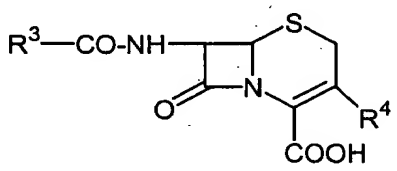
R is:




where:

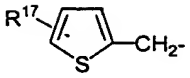
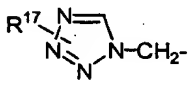
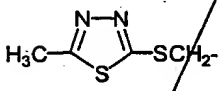
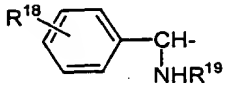
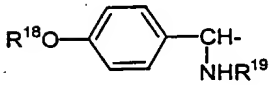
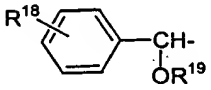
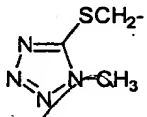
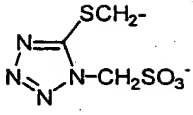
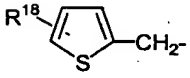
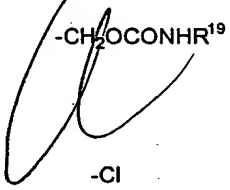
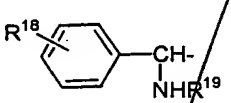
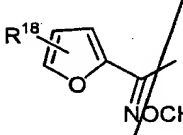
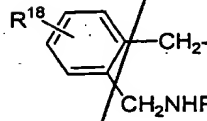
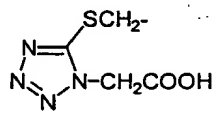
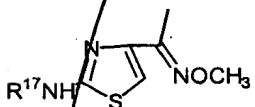
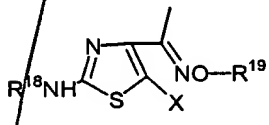
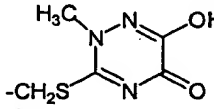
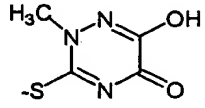
$R^{17}$ is a covalent bond that links the (a) group to a linker; one of $R^{18}$ and $R^{19}$ is hydrogen and the other is a covalent bond that links the (a) group to a linker;

(ii) a compound of formula (b):

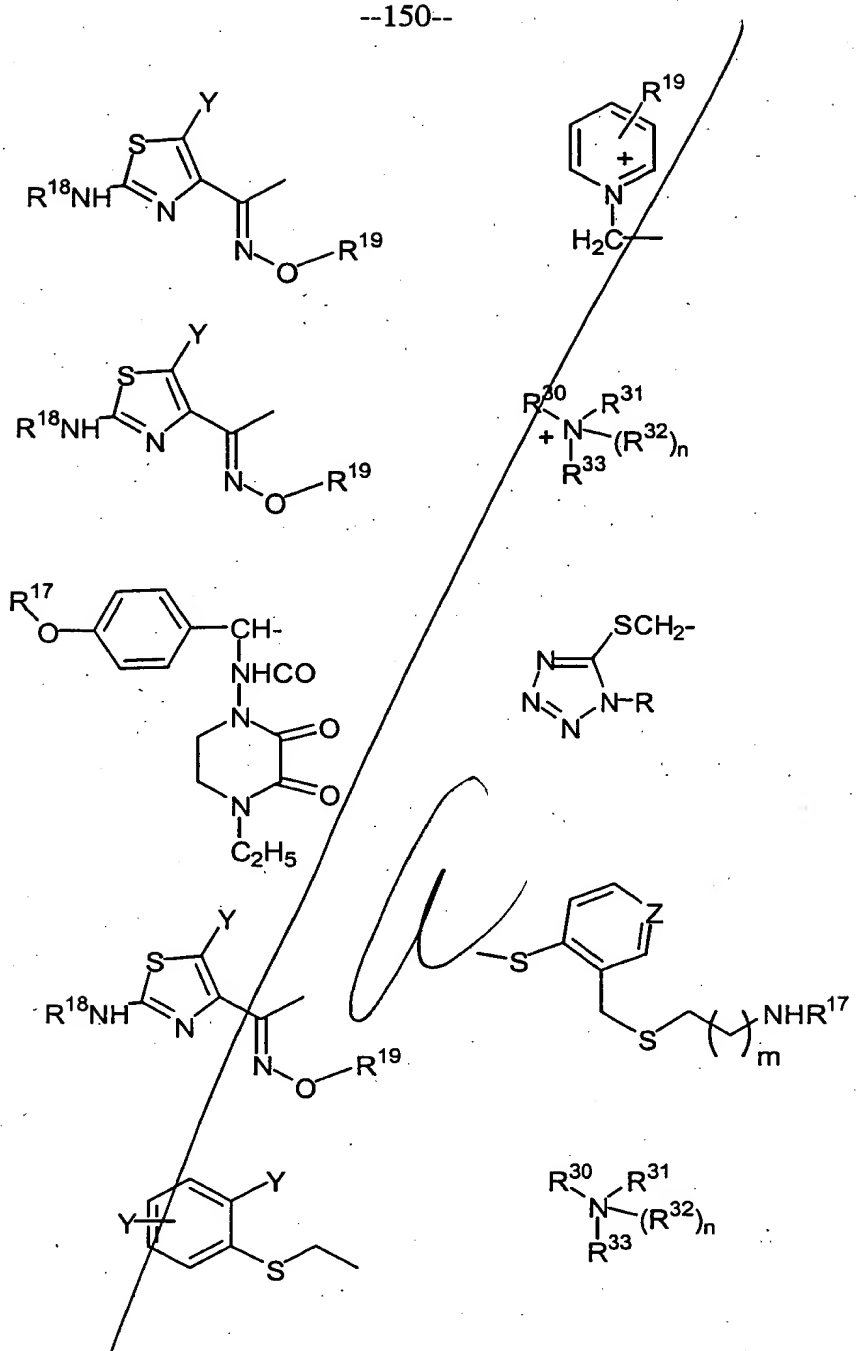



(b)

where $R^3$ and $R^4$ are:

| $R^3$ | $R^4$ |
|---|---|
|  | $-CH_2OCOCH_3$ |
|  |  |
|  | $-CH_3$ |
|  | $-CH_3$ |
|  |  or  |
|  | $-CH_2OCONHR^{19}$  $-CH_2OCOCH_3$ |
|  | $-Cl$ |
|  | $-CH_2OCONHR^{19}$ |
|  |  |
|  | $-CH_2OCOCH_3$, $-CH_2OCH_3$, H |
|  |  or  |

X = halo



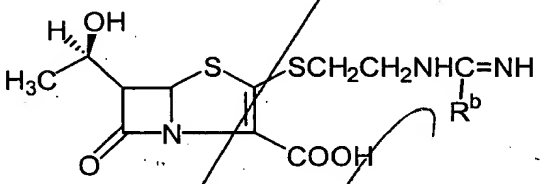
(Note: the $R^3$ group in the left column is paired with the $R^4$ in the right column)

wherein:

n is 0 or 1; m is 1-5; Z is CH or N; Y is H or halo; R is alkyl; $R^{17}$ is a covalent bond that links the (b) group to a linker; one of $R^{18}$ and $R^{19}$ is hydrogen or alkyl; $R^{30}$ and $R^{31}$ are, independently of each other, hydrogen or alkyl; or together with the nitrogen atom to which

they are attached form a heterocycloamino group; and R, $R^{32}$ and $R^{33}$ are independently alkyl wherein one of $R^{18}$, $R^{19}$, $R^{30}$-$R^{33}$ is a covalent bond that links the (b) group to a linker;

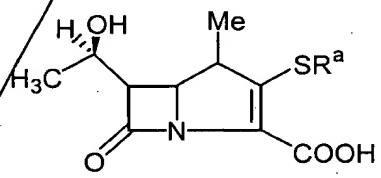
(iii) a compound of formula (c):




(c)

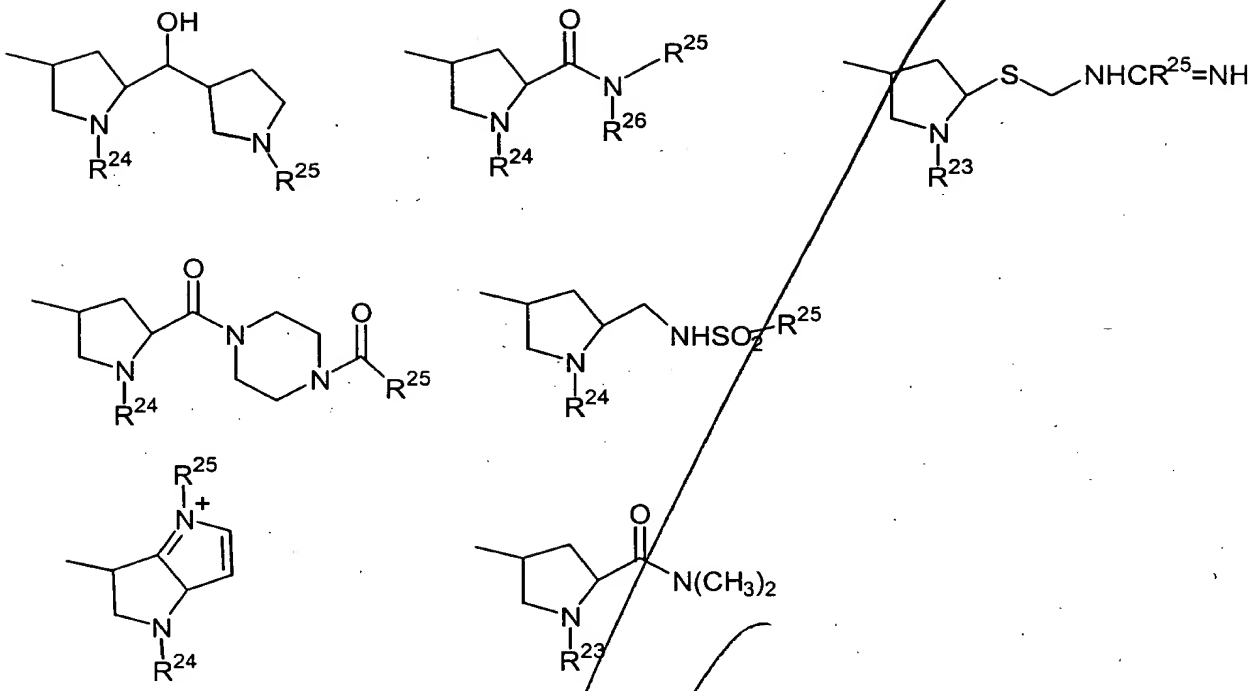
wherein $R^b$ is a covalent bond linking (c) to a linker;

(iv) a compound of formula (d):




(d)

where $R^a$ is:

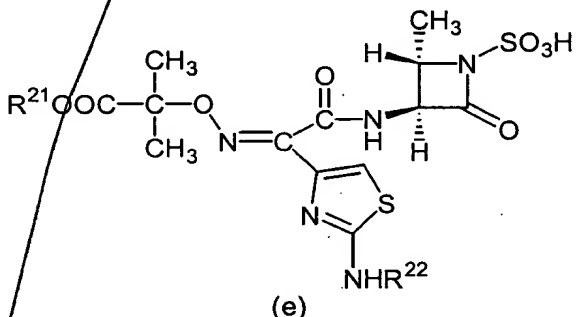


where:

$R^{23}$ is a covalent bond that links (d) to a linker;

one of $R^{24}$ and $R^{25}$ is hydrogen, alkyl, substituted alkyl, or aralkyl, and other is a covalent bond that links (d) to a linker; $R^{26}$ is alkyl; or

(v) a compound of formula (e):



(e)

wherein one of $R^{21}$ and $R^{22}$ is hydrogen and the other links (d) to a linker;

X is a linker is selected from a compound of formula:

$$-X^a-Z-(Y^a-Z)_m-X^a-$$

wherein

*m* is an integer of from 0 to 20;

$X^a$ at each separate occurrence is selected from the group consisting of -O-, -S-, -NR-, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR-, -NRC(O)-, C(S), -C(S)O-, -C(S)NR-, -NRC(S)-, or a covalent bond where R is as defined below;

Z at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cylcoalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, or a covalent bond;

each $Y^a$ at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-, -NR-, -S(O)n-, -C(O)NR'-, -NR'C(O)-, -NR'C(O)NR'-, -NR'C(S)NR'-, -C(=NR')-NR'-, -NR'-C(=NR')-, -OC(O)-NR'-, -NR'-C(O)-O-, -N=C($X^a$)-NR'-, -NR'-C($X^a$)=N-,-P(O)(OR')-O-, -O-P(O)(OR')-, -S($O)_n$CR'R''-, -S($O)_n$-NR'-, -NR'-S($O)_n$-, -S-S-, and a covalent bond; where *n* is 0, 1 or 2, and R, R' and R'' at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic; and

pharmaceutically acceptable salts thereof.

8. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a multibinding compound which comprises from 2-10 ligands covalently connected by a linker or linkers wherein each of said ligands comprises a ligand domain capable of binding to penicillin binding proteins, a transpeptidase enzyme, a substrate of a transpeptidase enzyme, a beta-lactamase enzyme, a pencillinase enzyme, a cephalosporinase enzyme, a transglycoslase enzyme, or a transglycosylase enzyme substrate provided that:

(i) all the ligands in a multibinding compound of Formula (I) cannot be either a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an

optionally substituted glycopeptide antibiotic;

(ii) when p is 2 and q is 1 then at least one of the ligands is a beta lactam antibiotic; and

(iii) when p is 2, q is 1, and one of the ligands is vancomycin attached via the [C] , then the other cannot be cefalexin attached to the linker via acylation of its alpha amino group.

9. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a multibinding compound of Formula (I):

$$(L)_p(X)_q$$

(I)

wherein:

*p* is an integer of from 2 to 10;

*q* is an integer of from 1 to 20;

each ligand, L, is a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

X is a linker that may be same or different at each occurrence provided that:

provided that:

(i) when the number of ligands in a multibinding compound of Formula (I) is greater than two then all the ligands cannot be either a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

(ii) when p is 2 and q is 1 then at least one of the ligands is a beta lactam antibiotic; and

(iii) when p is 2, q is 1, and one of the ligands is vancomycin attached to a linker via the [C] terminus, then the other ligand cannot be cefalexin attached to the linker via acylation of its alpha amino group.

10. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a multibinding compound of Claim 6 , 7, or 8.

11. A method for treating bacterial diseases in a mammal, said method comprising

administering to said mammal a therapeutically effective amount of a pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a multibinding compound which comprises from 2-10 ligands covalently connected by a linker or linkers wherein each of said ligands comprises a ligand domain capable of binding to penicillin binding proteins, a transpeptidase enzyme, a substrate of a transpeptidase enzyme, a beta-lactamase enzyme, a pencillinase enzyme, a cephalosporinase enzyme, a transglycoslase enzyme, or a transglycosylase enzyme substrate provided that:

(i) when the number of ligands in a multibinding compound of Formula (I) is greater than two then all the ligands cannot be either a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

(ii) when p is 2 and q is 1 then at least one of the ligands is a beta lactam antibiotic; and

(iii) when p is 2, q is 1, and one of the ligands is vancomycin attached to a linker via the [C] terminus, then the other ligand cannot be cefalexin attached to the linker via acylation of its alpha amino group.

12. A method for treating bacterial diseases in a mammal, said method comprising administering to said mammal a therapeutically effective amount of a pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a multibinding compound of Formula (I):

$$(L)_p(X)_q$$

(I)

wherein:

*p* is an integer of from 2 to 10;

*q* is an integer of from 1 to 20;

each ligand, L, is a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

X is a linker that may be same or different at each occurrence provided that:

provided that:

(i) when the number of ligands in a multibinding compound of Formula (I) is greater than two then all the ligands cannot be either a beta lactam antibiotic, an optionally substituted glycopeptide antibiotic, or an aglycone derivative of an optionally substituted glycopeptide antibiotic;

(ii) when p is 2 and q is 1 then at least one of the ligands is a beta lactam antibiotic; and

(iii) when p is 2, q is 1, and one of the ligands is vancomycin attached to a linker via the [C] terminus, then the other ligand cannot be cefalexin attached to the linker via acylation of its alpha amino group.

13. A method for treating bacterial diseases in a mammal, said method comprising administering to said mammal a therapeutically effective amount of a pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a multibinding compound of Claim 6 or 7.

14. A method for treating bacterial diseases in a mammal, said method comprising administering to said mammal a therapeutically effective amount of a pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a multibinding compound of Claim 8.

15. A method for identifying multimeric ligand compounds possessing multibinding properties which method comprises:

(a) identifying a ligand or a mixture of ligands wherein each ligand contains at least one reactive functionality;

(b) identifying a library of linkers wherein each linker in said library comprises at least two functional groups having complementary reactivity to at least one of the reactive functional groups of the ligand;

(c) preparing a multimeric ligand compound library by combining at least two stoichiometric equivalents of the ligand or mixture of ligands identified in (a) with the library of linkers identified in (b) under conditions wherein the complementary functional groups react to form a covalent linkage between said linker and at least two of said ligands; and

(d) assaying the multimeric ligand compounds produced in the library prepared in (c) above to identify multimeric ligand compounds possessing multibinding properties.

16. A method for identifying multimeric ligand compounds possessing multibinding properties which method comprises:

(a) identifying a library of ligands wherein each ligand contains at least one reactive functionality;

(b) identifying a linker or mixture of linkers wherein each linker comprises at least two functional groups having complementary reactivity to at least one of the reactive functional groups of the ligand;

(c) preparing a multimeric ligand compound library by combining at least two stoichiometric equivalents of the library of ligands identified in (a) with the linker or mixture of linkers identified in (b) under conditions wherein the complementary functional groups react to form a covalent linkage between said linker and at least two of said ligands; and

(d) assaying the multimeric ligand compounds produced in the library prepared in (c) above to identify multimeric ligand compounds possessing multibinding properties.

17. The method according to Claim 15 or 16 wherein the preparation of the multimeric ligand compound library is achieved by either the sequential or concurrent combination of the two or more stoichiometric equivalents of the ligands identified in (a) with the linkers identified in (b).

18. The method according to Claim 17 wherein the multimeric ligand compounds comprising the multimeric ligand compound library are dimeric.

19. The method according to Claim 18 wherein the dimeric ligand compounds comprising the dimeric ligand compound library are heterodimeric.

20. The method according to Claim 19 wherein the heterodimeric ligand compound library is prepared by sequential addition of a first and second ligand.

21. The method according to Claim 15 or 16 wherein, prior to procedure (d), each member of the multimeric ligand compound library is isolated from the library.

22. The method according to Claim 21 wherein each member of the library is isolated by preparative liquid chromatography mass spectrometry (LCMS).

23. The method according to Claim 15 or Claim 16 wherein the linker or linkers employed are selected from the group comprising flexible linkers, rigid linkers, hydrophobic linkers, hydrophilic linkers, linkers of different geometry, acidic linkers, basic linkers, linkers of different polarization and amphiphilic linkers.

24. The method according to Claim 23 wherein the linkers comprise linkers of different chain length and/or having different complementary reactive groups.

25. The method according to Claim 24 wherein the linkers are selected to have different linker lengths ranging from about 2 to 100Å.

26. The method according to Claim 15 or 16 wherein the ligand or mixture of ligands is selected to have reactive functionality at different sites on said ligands.

27. The method according to Claim 26 wherein said reactive functionality is selected from the group consisting of carboxylic acids, carboxylic acid halides, carboxyl esters, amines, halides, pseudohalides, isocyanates, vinyl unsaturation, ketones, aldehydes, thiols, alcohols, anhydrides, boronates, and precursors thereof wherein the reactive functionality on the ligand is selected to be complementary to at least one of the reactive groups on the linker so that a covalent linkage can be formed between the linker and the ligand.

28. The method according to Claim 15 or Claim 16 wherein the multimeric ligand compound library comprises heteromeric ligand compounds.

29. A library of multimeric ligand compounds which may possess multivalent properties which library is prepared by the method comprising:

(a)identifying a ligand or a mixture of ligands wherein each ligand contains at least one reactive functionality;

(b) identifying a library of linkers wherein each linker in said library comprises at least two functional groups having complementary reactivity to at least one of the reactive functional groups of the ligand; and

(c) preparing a multimeric ligand compound library by combining at least two stoichiometric equivalents of the ligand or mixture of ligands identified in (a) with the library of linkers identified in (b) under conditions wherein the complementary functional groups react to form a covalent linkage between said linker and at least two of said ligands.

30. A library of multimeric ligand compounds which may possess multivalent properties which library is prepared by the method comprising:

(a) identifying a library of ligands wherein each ligand contains at least one reactive functionality;

(b) identifying a linker or mixture of linkers wherein each linker comprises at least two functional groups having complementary reactivity to at least one of the reactive functional groups of the ligand; and

(c) preparing a multimeric ligand compound library by combining at least two stoichiometric equivalents of the library of ligands identified in (a) with the linker or mixture of linkers identified in (b) under conditions wherein the complementary functional groups react to form a covalent linkage between said linker and at least two of said ligands.

31. The library according to Claim 29 or Claim 30 wherein the linker or linkers employed are selected from the group comprising flexible linkers, rigid linkers, hydrophobic linkers, hydrophilic linkers, linkers of different geometry, acidic linkers, basic linkers, linkers of different polarization and /or polarizability and amphiphilic linkers.

32. The library according to Claim 29 wherein the linkers comprise linkers of different chain

length and/or having different complementary reactive groups.

33. The library according to Claim 32 wherein the linkers are selected to have different linker lengths ranging from about 2 to 100Å.

34. The library according to Claim 29 or 30 wherein the ligand or mixture of ligands is selected to have reactive functionality at different sites on said ligands.

35. The library according to Claim 34 wherein said reactive functionality is selected from the group consisting of carboxylic acids, carboxylic acid halides, carboxyl esters, amines, halides, pseudohalides, isocyanates, vinyl unsaturation, ketones, aldehydes, thiols, alcohols, anhydrides, boronates and precursors thereof wherein the reactive functionality on the ligand is selected to be complementary to at least one of the reactive groups on the linker so that a covalent linkage can be formed between the linker and the ligand.

36. The library according to Claim 30 or Claim 31 wherein the multimeric ligand compound library comprises homomeric ligand compounds.

37. The library according to Claim 29 or Claim 30 wherein the multimeric ligand compound library comprises heteromeric ligand compounds.

38. An iterative method for identifying multimeric ligand compounds possessing multibinding properties which method comprises:

(a) preparing a first collection or iteration of multimeric compounds which is prepared by contacting at least two stoichiometric equivalents of the ligand or mixture of ligands which target a receptor with a linker or mixture of linkers wherein said ligand or mixture of ligands comprises at least one reactive functionality and said linker or mixture of linkers comprises at least two functional groups having complementary reactivity to at least one of the reactive functional groups of the ligand wherein said contacting is conducted under conditions wherein the complementary functional groups react to form a covalent linkage between said

linker and at least two of said ligands;

(b) assaying said first collection or iteration of multimeric compounds to assess which if any of said multimeric compounds possess multibinding properties;

(c)repeating the process of (a) and (b) above until at least one multimeric compound is found to possess multibinding properties;

(d) evaluating what molecular constraints imparted multibinding properties to the multimeric compound or compounds found in the first iteration recited in (a)- (c) above;

(e)creating a second collection or iteration of multimeric compounds which elaborates upon the particular molecular constraints imparting multibinding properties to the multimeric compound or compounds found in said first iteration;

(f) evaluating what molecular constraints imparted enhanced multibinding properties to the multimeric compound or compounds found in the second collection or iteration recited in (e) above;

(g) optionally repeating steps (e) and (f) to further elaborate upon said molecular constraints.

39. The method according to Claim 38 wherein steps (e) and (f) are repeated from 2-50 times.

40. The method according to Claim 39 wherein steps (e) and (f) are repeated from 5-50 times.